

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

REC'D 14 SEP 2004

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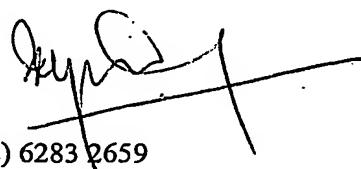
Applicant's or agent's file reference 02853PC/IDJ	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416).	
International Application No. PCT/AU2003/001008	International Filing Date <i>(day/month/year)</i> 8 August 2003	Priority Date <i>(day/month/year)</i> 8 August 2002
International Patent Classification (IPC) or national classification and IPC Int. Cl. ⁷ C07H 15/18, 5/06, 23/00		
Applicant ALCHEMIA PTY LTD et al		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.
☒ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of 2 sheet(s).

3. This report contains indications relating to the following items:

- | | | |
|------|-------------------------------------|---|
| I | <input checked="" type="checkbox"/> | Basis of the report |
| II | <input type="checkbox"/> | Priority |
| III | <input checked="" type="checkbox"/> | Non-establishment of opinion with regard to novelty, inventive step and industrial applicability |
| IV | <input type="checkbox"/> | Lack of unity of invention |
| V | <input checked="" type="checkbox"/> | Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement |
| VI | <input type="checkbox"/> | Certain documents cited |
| VII | <input type="checkbox"/> | Certain defects in the international application |
| VIII | <input type="checkbox"/> | Certain observations on the international application |

Date of submission of the demand 8 March 2004	Date of completion of the report 7 September 2004
Name and mailing address of the IPEA/AU AUSTRALIAN PATENT OFFICE PO BOX 200, WODEN ACT 2606, AUSTRALIA E-mail address: pct@ipaaustralia.gov.au Facsimile No. (02) 6285 3929	Authorized Officer <div style="text-align: center;">  S.R. IDRUS Telephone No. (02) 6283 2659 </div>

I. Basis of the report

1. With regard to the elements of the international application:*
- ☐ the international application as originally filed.
- ☒ the description, pages 1-48, as originally filed,
pages , filed with the demand,
pages , received on with the letter of
- ☒ the claims, pages 51-64, as originally filed,
pages , as amended (together with any statement) under Article 19,
pages , filed with the demand,
pages 49, 50, received on 10 August 2004 with the letter of 10 August 2004
- ☐ the drawings, pages , as originally filed,
pages , filed with the demand,
pages , received on with the letter of
- ☐ the sequence listing part of the description:
pages , as originally filed
pages , filed with the demand
pages , received on with the letter of
2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.
These elements were available or furnished to this Authority in the following language which is:
- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of the translation furnished for the purposes of international preliminary examination (under Rules 55.2 and/or 55.3).
3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:
- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished
4. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages
- ☐ the claims, Nos.
- ☐ the drawings, sheets/fig.
5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).**

* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17).

** Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be nonobvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos: 1-5 (in parts), 6-21

because:

☐ the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (*specify*):

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☒ no international search report has been established for said claim Nos. 1-5 (in parts), 6-21

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the standard.

☐ the computer readable form has not been furnished or does not comply with the standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**1. Statement**

Novelty (N)	Claims 1-21, 22-24, 25-27	YES
	Claims	NO
Inventive step (IS)	Claims 1-21, 22-24, 25-27	YES
	Claims	NO
Industrial applicability (IA)	Claims 1-27	YES
	Claims	NO

2. Citations and explanations (Rule 70.7)

Claims for which no international search was established since they have not been fully searched have not been examined. To the extent that Claims 1-5 were searched, the International Search Report identified the following citations:

D1) Derwent Abstract Accession No. 2002-371191/40

D2) US 6,184,366

D3) WO 2002/032915

D4) EP 0099578 (& US 4,548,923)

D5) Bioorganic & Medicinal Chemistry Letters

D6) Synlett (2001)

D7) Organic Letters (2001)

D8) Angewandte Chemie, International Edition (2001)

D9) Synlett (1999)

The compounds disclosed in citations **D1**, **D2**, **D3** and **D6** are excluded from Claims 1, 2, 4, 5, 25 and 26 of the present application by virtue of the provisos present.

The compounds disclosed in **D4**, and **D5** do not fall within the scope of Claims 1, 2, 4, 5, 25 and 26 of the present application because the substituents at position 1 do not conform to the definition of R1.

D9 disclosed compounds with 2-carbazoleamine which does not conform to the definition of N(Y)Z of Claims 1, 2, 4 and 5 of the present application.

Accordingly, Claims 1, 2, 4, 5, 25 and 26 are novel in the light of **D1**, **D2**, **D3**, **D4**, **D5**, **D6** and **D9**.

D7 and **D8** disclosed compounds which are excluded by the proviso in Claim 1 excluding acyl substituted carbohydrates for the "OR" moiety. Accordingly, Claims 1, 2, 4 and 5 are novel in the light of **D7** and **D8**. Claims 25 and 26 are novel in the light of **D7** and **D8**.

None of the citations disclosed furanose compounds. Accordingly, Claim 3 is novel in the light of **D1-D9**.

Similarly none of the citations disclosed the invention compounds immobilised on a support. Accordingly, Claims 22-24 are novel in the light of **D1-D9**.

Supplemental Box

(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of BOX V

Claims 6-21 are directed to compounds of the invention as defined in Claims 1-5 wherein one or more of the hydroxyl oxygens are protected. Where no search was conducted for said Claims 1-5 no opinion is provided for Claims 6-21.

To the extent that Claims 1-5 were searched at least citations **D2, D3, D6, D7 and D8** disclosed precursor compounds in which one or more hydroxyl groups are protected by known protective groups. In fact protected monosaccharides form part of the common general knowledge.

The problem faced by the inventors of the present application is to employ monosaccharides as scaffolds but with reduced orthogonal protection and rotational freedom affording better physical properties. And the solution arrived at is a group of compounds with one or two OH groups unsubstituted and have at least one nitrogen attached. None of citations **D2, D3, D6, D7 and D8** teaches or fairly suggests this solution.

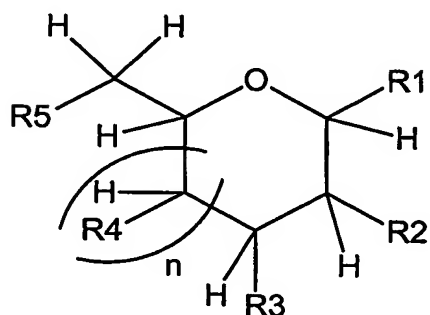
Accordingly Claims 6-21 involve inventive step in the light of citations **D2, D3, D6, D7 and D8**.

The invention compounds of the present application have useful properties which make them applicable in the discovery of novel drug or drug-like compounds. Accordingly, the claimed subject matter is industrially applicable.

CLAIMS:

1. A compound of formula I being a derivative of a furanose or pyranose form of a monosaccharide,

5



formula I

Wherein, n is 0 or 1;

R1 is XR wherein,

10

X is selected from O; S; S=O and SO₂,

R is selected from the group consisting of C1 to C9 alkyl, C1 to C15 alkenyl, C1 to C15 alkynyl, C1 to C15 heteroalkyl, C6 to C15 aryl, C6 to C15 heteroaryl, C6 to C15 arylalkyl or C6 to C15 heteroarylalkyl which is optionally substituted, cyclic or acyclic, branched and/or linear,

15

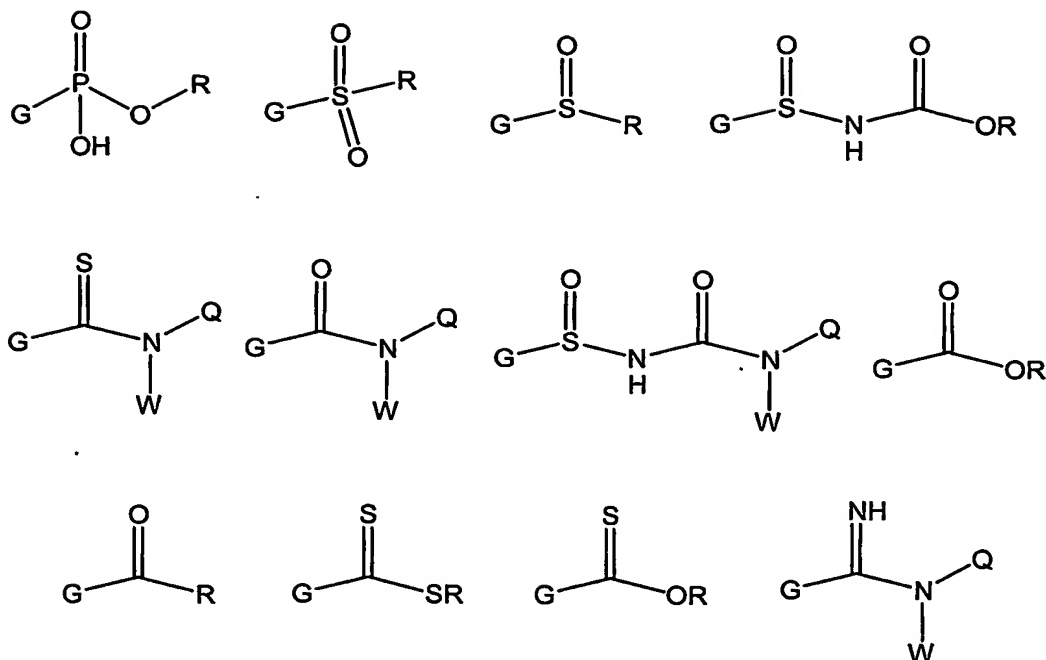
the groups R2 to R5 are selected from OH, OR and N(Y)Z such that:

at least one of the groups R2 to R5 and not more than two of the groups R2 to R5 are OH,

20 at least one of the groups R2 to R5 and not more than two of the groups R2 to R5 are OR, where R is defined above, with the proviso that when two of the groups R2 to R5 are OR, OR is an ether type moiety and the R groups may not both be methyl or unsubstituted benzyl,

25 at least one of the groups R2 to R5 and not more than two of the groups R2 to R5 are N(Y)Z, where Z is selected from hydrogen or R and Y is selected from the following, where G denotes the point of connection to the nitrogen atom in N(Y)Z, the N(Y)Z moieties may not be the same;

50



and the groups Q and W are independently selected from hydrogen or R as is defined above, and Q and W may combine to form a cycle,

the groups Z and Y may combine to form a cycle,

5 the groups R1 to R5 may not combine together to form a cycle,

with the proviso that where two groups in the compound of formula I are N(Y)Z, these groups are different,

with the further proviso that when either R2 or R5 is N(Y)Z, N(Y)Z may not be trifluoroacetamido, acetamido, benzyloxycarbonylamino or t-butoxycarbonylamino,

10 with the further proviso that when R2 is N(Y)Z, N(Y)Z may not be phthalimido, 4-[N-[1-(4,4-dimethyl-2,6-dioxocyclo-hexylidene)-3-methylbutyl]-amino}benzyl ester (ODmab), N-1-(4,4-dimethyl-2,6-dioxocyclohexylidene)ethyl (Dde), 2,2,2-Trichloroethoxycarbonyl (Troc), 9-Fluorenylmethoxycarbonyl (Fmoc), or a 5-Acyl-1,3-dimethylbarbiturate type protecting group (DTPM),

15 with the further proviso that when the compound is of the 2-deoxy-2-aminoglucose configuration and R5 and R4 are both hydroxyl, R3 may not be a glycolate [-